

10/530,986

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TITLE: Molten pyrimidines
INVENTOR(S): Althuis, Thomas H.; Czuba, Leonard J.; Hess, Hans J.
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PATENT ASSIGNEE(S): Pfizer, Chas., and Co., Inc.
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PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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GI For diagram(s), see printed CA Issue.

AB Twenty-seven pyrimidoquinolines I [R = Me, Et, Ac, CO₂R₇ (R₇ = H, Na, Et, Bu, CH₂CH₂OH), CONH₂, CONHOH; R₁ = H, Ph; R₂ = H, Cl, MeO; R₃ = H, MeO, F, Cl, EtO, MeS, MeS(O); R₄ = H, MeO, EtO, BuO, PhCH₂O, F; R₃R₄ = OCH₂O, OCH₂CH₂O; R₅ = H, MeO; R₆ = Me, CH₂CO₂Me, (CH₂)₃CO₂Et, (CH₂)₂OAc], Et benzo[g]quinazolin-4(3H)-one-2-carboxylate, Et pyrido[2,3-d]pyrimidin-4(3H)-one-2-carboxylate, and 2-ethylpyrimido[2,3-d]pyrimidin-4(3H)-one, useful as inhibitors of bronchial asthma, were prepared: a) by condensation of cyanoacetamide with a nitrobenzaldehyde to give acrylamide II which was cyclized with powdered Fe in AcOH or AcOH-DMF to aminoquino-linecarboxamide III. Refluxing III with an oxalate ester and aromatic hydrocarbon gave I. b) Cyanoacetamide condensed with an aminobenzaldehyde gave III directly.

10/530,986

Many of the compds. prepared had 100% antiallergic activity at 1-10 mg/kg
(average of 8 animals) i.v.

IT 55149-43-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization with diethyl oxalate)

RN 55149-43-4 CA

CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)

